

Application Serial No.: 10/801,608
Inventor(s): Allegrini *et al.*
Attorney Docket No.: 100506-00023

II. AMENDMENTS TO THE CLAIMS

Claim 1. (Original) A process for the oxidation of thioethers to sulfoxides or sulfones or for the oxidation of sulfoxides to sulfones by treatment of thioethers or sulfoxides with an oxidizing amount of ϵ -phthalimidoperhexanoic acid.

Claim 2. (Original) A process as claimed in claim 1, wherein a thioether is oxidized to sulfoxide and a sulfoxide is oxidized to sulfone, wherein ϵ -phthalimidoperhexanoic acid is used in amount ranging from 0.8 to 1.5 equivalents per equivalent of substrate.

Claim 3. (Currently Amended) A process as claimed in claim 1, wherein a ~~thioether~~ thioether is oxidized to a sulfone, wherein ϵ -phthalimidoperhexanoic acid is used in amounts ranging from 1.5 to 3 equivalents per equivalent of substrate.

Claim 4. (Currently Amended) A process as claimed in claim 1, wherein the oxidation is carried out at a temperature ranging from -20°C to the reflux temperature of ~~the solvent~~ a solvent, for a reaction time ranging from 0.5 to 24 hours.

Claim 5. (Currently Amended) A process as claimed in claim 1, wherein the oxidation is carried out in a ~~water-miscible~~ water-miscible or ~~immiscible~~ immiscible, protic or aprotic organic solvent.

Claim 6. (Original) A process as claimed in claim 5, wherein the solvent is selected from aliphatic or aromatic chlorides, aromatic hydrocarbons, esters of a carboxylic acid, alkyl carbonates, alkanols, alkyl or cycloalkyl ketones, or mixtures thereof.

Claim 7. (Currently Amended) A process ~~as claimed in claims 4~~ for the preparation of a biologically active compound containing a sulfinyl or sulfonyl group, the process comprising:

a) oxidation of an intermediate containing at least one thioether to at least one sulfoxide or sulfone by treatment of the at least one thioether with an oxidizing amount of ϵ -phthalimidoperhexanoic acid or

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b) oxidation of an intermediate containing at least one sulfoxide to at least one sulfone by treatment of the at least one sulfoxide group with an oxidizing amount of ϵ -phthalimidoperhexanoic acid.

Claim 8. (Currently Amended) A process as claimed in claim 7, wherein the biologically active compound is selected from the group consisting of ~~modafinil, modafinil-sulfone, sulindac, sulindac-sulfone, dapsone, omeprazole, pantoprazole, lansoprazole, timoprazole, picoprazole, rabeprazole and exomeprazole~~ 2-
[(diphenylmethyl)sulfinyl]acetamide (Modafinil); 2-[(diphenylmethyl)sulfonyl]acetamide (Modafinil-sulfone); (Z)-5-fluoro-2-methyl-1-[[4-(methyl-sulfinyl)phenyl]methylene]-1H-indene-3-acetic acid (Sulindac); (Z)-5-fluoro-2-methyl-1-[[4-(methyl-sulfonyl)phenyl]methylene]-1H-indene-3-acetic acid (Sulindac-sulfone); 4,4'-sulfonylbenzenamine (Dapsone); 5-methoxy-2[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole (Omeprazole); 5-difluoromethoxy-2[[3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole (Pantoprazole); 2-[[[methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazole (Lansoprazole); 2-[[[(2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole (Timoprazole); 5-ethoxycarbonyl-6-methyl-2[[3-methyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole (Picoprazole); 2-[[[3-methyl-4-(3-methoxypropoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazole (Rabeprazole); (S)-5-methoxy-2[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole (Exomeprazole).

Claim 9. (Original) A process as claimed in claim 1, wherein the intermediate compound containing a thioether group is selected from the group consisting of:

- 1-(4-fluorophenyl)-2-(4-methylthio-phenyl)-ethanone;
- (Z)-5-fluoro-2-methyl-1-[[4-(methylthio)-phenyl]methylene]-1H-indene-3-acetic acid;
- 2-[(diphenylmethyl)thio]acetic acid;
- 2-[(diphenylmethyl)thio]acetamide;
- 4,4'-thiobisbenzenamine;

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5-methoxy-2 [[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]-1H-benzimidazole);

5-difluoromethoxy-2-[(4-chloro-3-methoxy-2-pyridinyl)methyl]thio-1H-benzimidazole;

5-difluoromethoxy-2[[[3,4-dimethoxy-2-pyridinyl)methyl]thio]-1H-benzimidazole;

2-[[[methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl)methyl]thio]-1H-benzimidazole;

2-[[[(2-pyridinyl)methyl]thio]-1H-benzimidazole;

5-ethoxycarbonyl-6-methyl-2 [[[3-methyl-2-pyridinyl)methyl]thio]-1H-benzimidazole;

2-[[[3-methyl-4-(3-methoxypropoxy)-2-pyridinyl)methyl]thio]-1H-benzimidazole;
and

(S)-(5-methoxy-2[[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]-1H-benzimidazole).

Claim 10. (Currently Amended) A process as claimed in claim 1, wherein the intermediate compound containing a sulfoxide group is selected from the group consisting of ~~sulindac, modafinil~~, (Z)-5-fluoro-2-methyl-1-[[4-(methylsulfinyl)phenyl]methylene]-1H-indene-3-acetic acid (Sulindac), 2-[(diphenylmethyl)sulfinyl]acetamide (Modafinil), 1-(4-fluorophenyl)-2-(4-methylsulfinylphenyl)-ethanone and 2-[(diphenylmethyl)sulfinyl]acetic acid.

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